

10/634,181

STM - Structure Search
11.22.04

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L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2004 ACS on STM

ACCESSION NUMBER: 2004:681507 CAPLUS

DOCUMENT NUMBER: 141:207234

TITLE: 3-Amino-4-phenylbutanoic acid derivatives as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes

INVENTOR(S): Ashton, Wallace T.; Caldwell, Charles G.; Duffy, Joseph L.; Mathvink, Robert J.; Wang, Liping; Weber, Ann E.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 121 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2004069162 | A2 | 20040819 | WO 2004-US2309 | 20040127 |
| W: | AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, DE, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KR, KR, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

PRIORITY APPLN. INFO.: US 2003-444145P P 20030131

OTHER SOURCE(S): MARPAT 141:207234

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein W, X, Y, Z = independently N, CH and derivs.; with the provisos that at least one of W, X, Y, and Z = CH and derivs., and when W = Y = N, then one of X and Z = N; Ar = (un)substituted phenyl; R7, R8, R9 = independently H, CN, (CH2)nCO2H, (un)substituted alkyl, (CH2)n-hetero/aryl, (CH2)n-heterocyclyl, etc.; n = 0-2; and their pharmaceutically acceptable salts] were prepared as inhibitors of the dipeptidyl peptidase-IV (DP-IV) enzyme for treating diabetes, in particular type 2 diabetes. For example, II•TFA was prepared, in 4 steps, from acid III, 7-nitro-1,2,3,4-tetrahydroisoquinoline, benzenesulfonyl chloride and TFA. I displayed IC50 values < 1 µM for the inhibition of DP-IV. Thus, I are useful in the prevention or treatment of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as type 2 diabetes, obesity, hyperglycemia, and other lipid disorders(no data).

IT 741736-62-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

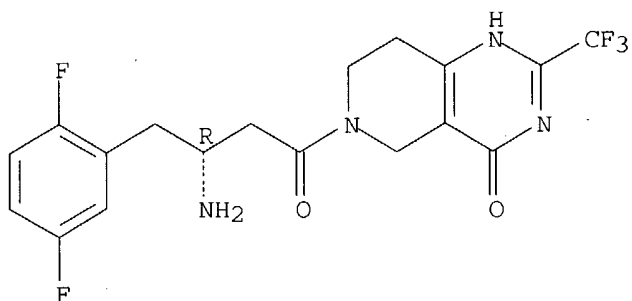
(dipeptidyl peptidase-IV inhibitor; preparation of 3-amino-4-phenylbutanoic acid derivs. as dipeptidyl peptidase inhibitors for treating diabetes)

10/634,181

RN 741736-62-9 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 6-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



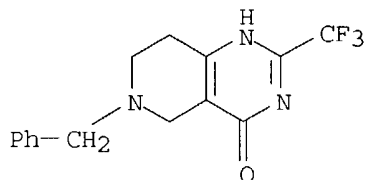
IT **741737-21-3P**, 6-Benzyl-2-(trifluoromethyl)-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidin-4-ol

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 3-amino-4-phenylbutanoic acid derivs. as dipeptidyl peptidase inhibitors for treating diabetes)

RN 741737-21-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-6-(phenylmethyl)-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:143151 CAPLUS

DOCUMENT NUMBER: 140:175194

TITLE: Fused tetrahydropyridine derivatives as matrix metalloproteinase inhibitors, pharmaceutical compositions, and therapeutic use

INVENTOR(S): Li, Jie Jack

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 191 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

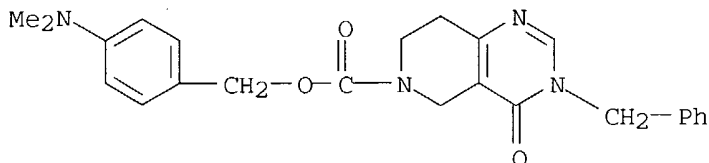
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

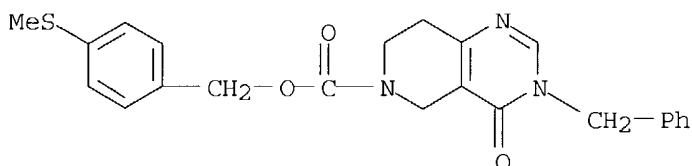
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|---|----------|-----------------|----------|
| WO 2004014909 | A1 | 20040219 | WO 2003-IB3662 | 20030803 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, | | | |

10/634,181



RN 658038-31-4 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 3,5,7,8-tetrahydro-4-oxo-3-(phenylmethyl)-, [4-(methylthio)phenyl]methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:991510 CAPLUS

DOCUMENT NUMBER: 140:42193

TITLE: Preparation of bicyclic pyrimidine derivatives as antiinflammatory agents for treatment of allergic diseases

INVENTOR(S): Arai, Hitoshi; Matsumura, Tsutomu; Ishida, Hiroshi; Yamaura, Yosuke; Aratake, Seiji; Ohshima, Etsuo; Yanagawa, Koji; Miyama, Motoki; Suzuki, Koji; Kawabe, Ari; Nakanishi, Satoshi; Kobayashi, Katsuya; Sato, Takashi; Miki, Ichiro; Ueno, Kimihisa; Fujii, Shinya; Iwase, Miho

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 467 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2003104230 | A1 | 20031218 | WO 2003-JP7200 | 20030606 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |

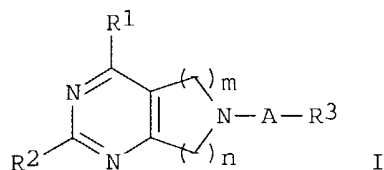
PRIORITY APPLN. INFO.:

JP 2002-166504

A 20020607

OTHER SOURCE(S): MARPAT 140:42193

GI



AB The title compds. I [wherein m and n = independently 1-3; R1 = (un)substituted amino; R2 = -B-(CX2)_p-R7, (un)substituted piperidinyl, piperazinyl, or amino; B = O CH=CH, C.tplbond.C, or phenylene; p = 1-4; X = H, halo, or (un)substituted alkyl; R7 = (un)substituted amino; A = a single bond, CO, SO2, OCO, OCS, SCS, (un)substituted NHCO, NHCS, or amino; R3 = H, (un)substituted alkyl, cycloalkyl, alkenyl, alkynyl, aralkyl, aryl, heteroaryl, heterocyclyl, heteroarylalkyl, or heterocyclylalkyl, etc.] or quaternary ammonium salts, or pharmaceutically acceptable salts thereof are prepared I have an antiinflammatory effect and an effect of controlling the function(s) of TARC and/or MDC and, therefore, are usable in treating and/or preventing various diseases in which T cells participate, for example, allergic diseases, autoimmune diseases, rejection at transplantation, etc. (no data). Formulations containing I as an active ingredient were also described.

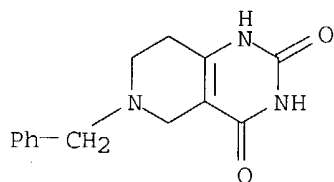
IT 135481-57-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of bicyclic pyrimidine derivs. as antiinflammatory agents for treatment of allergic diseases)

RN 135481-57-1 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:77786 CAPLUS

DOCUMENT NUMBER: 134:266271

TITLE: Synthesis and transformations of pyrido[4,3-d]pyrimidines with N-heterocycles moieties

AUTHOR(S): Chowdhury, A. Z. M. Shaifullah; Shibata, Yasuyuki

CORPORATE SOURCE: Environmental Chemistry Division, National Institute for Environmental Studies, Tsukuba, 305-0053, Japan

SOURCE: Heterocycles (2001), 55(1), 115-125

CODEN: HTCYAM; ISSN: 0385-5414

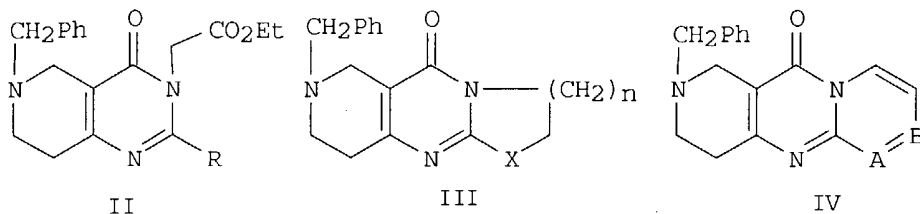
PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:266271

GI



AB Me 4-amino-1-benzyl-1,2,5,6-tetrahydropyridine-3-carboxylate (I) was cyclized to fused pyrimidines (II) (R = SH, SMe) by reacting with isocyanate, isothiocyanate, or dithioketal reagent. II was halogenated, methylated and subsequently displaced by amines, hydrazine, pyrrolidine, and morpholine. I was also converted directly into tricyclic azolopyrido[4,3-d]pyrimidines (III) (X = S, NH; n = 1, 2) and (IV) (A = N, B = CH; A = CH, B = N).

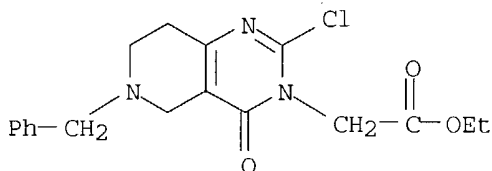
IT 332097-95-7P 332098-05-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and transformations of pyrido[4,3-d]pyrimidines with N-heterocyclic moieties)

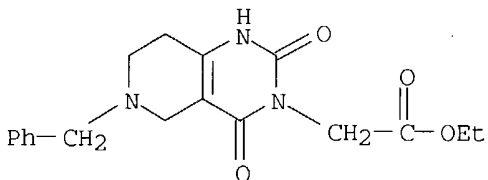
RN 332097-95-7 CAPLUS

CN Pyrido[4,3-d]pyrimidine-3(4H)-acetic acid, 2-chloro-5,6,7,8-tetrahydro-4-oxo-6-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 332098-05-2 CAPLUS

CN Pyrido[4,3-d]pyrimidine-3(2H)-acetic acid, 1,4,5,6,7,8-hexahydro-2,4-dioxo-6-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

15

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:475943 CAPLUS

DOCUMENT NUMBER: 133:89540

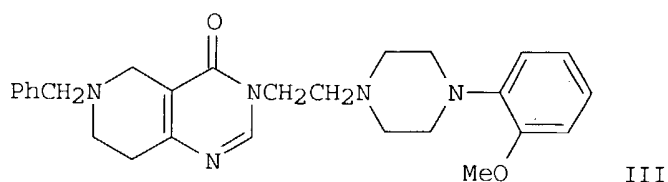
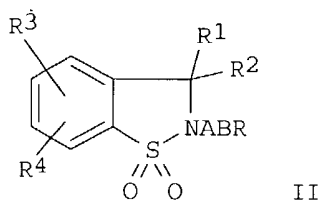
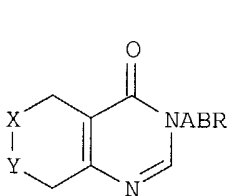
TITLE: Pyridopyrimidinones and benzisothiazole dioxides for use in the prophylaxis and therapy of cerebral ischemia

INVENTOR(S): Steiner, Gerd; Schellhaas, Kurt; Lubisch, Wilfried; Holzenkamp, Uta; Starck, Dorothea; Szabo, Laszlo;

Emling, Franz; Garcia-Ladona, Francisco Javi; Hofmann, Hans-Peter; Unger, Liliane
 PATENT ASSIGNEE(S): BASF A.-G., Germany
 SOURCE: Ger. Offen., 90 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

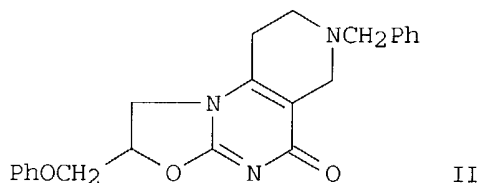
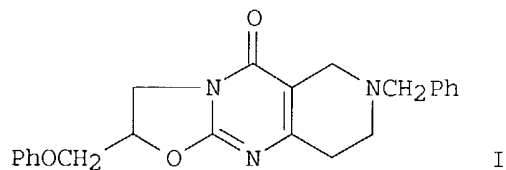
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| DE 19900544 | A1 | 20000713 | DE 1999-19900544 | 19990111 |
| CA 2359390 | AA | 20000720 | CA 1999-2359390 | 19991222 |
| WO 2000041697 | A1 | 20000720 | WO 1999-EP10275 | 19991222 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1140099 | A1 | 20011010 | EP 1999-966990 | 19991222 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| BR 9916888 | A | 20011120 | BR 1999-16888 | 19991222 |
| TR 200102009 | T2 | 20020121 | TR 2001-200102009 | 19991222 |
| JP 2002534467 | T2 | 20021015 | JP 2000-593308 | 19991222 |
| ZA 2001005473 | A | 20021003 | ZA 2001-5473 | 20010703 |
| NO 2001003408 | A | 20010821 | NO 2001-3408 | 20010710 |
| BG 105688 | A | 20020228 | BG 2001-105688 | 20010710 |
| PRIORITY APPLN. INFO.: | | | DE 1999-19900544 | A 19990111 |
| | | | WO 1999-EP10275 | W 19991222 |

OTHER SOURCE(S): MARPAT 133:89540
 GI



10/634,181

ACCESSION NUMBER: 1999:684900 CAPLUS
DOCUMENT NUMBER: 132:49943
TITLE: Reaction between 5-(phenoxyethyl)-2-amino-2-oxazoline
and N-benzyl-3-(ethoxycarbonyl)-4-piperidinone
hydrochloride: a structural investigation
AUTHOR(S): Forfar, Isabelle; Jarry, Christian; Laguerre, Michel;
Leger, Jean-Michel; Pianet, Isabelle
CORPORATE SOURCE: Laboratoire de Chimie Physique et Minerale, Universite
Victor Segalen Bordeaux 2 - 146, Bordeaux, 33076, Fr.
SOURCE: Tetrahedron (1999), 55(44), 12819-12828
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:49943
GI



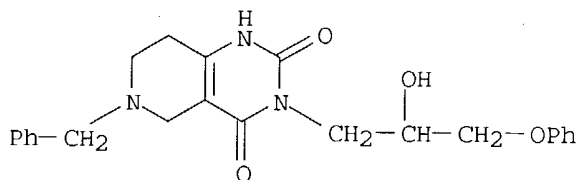
AB The title reaction gave oxazolopyridopyrimidinones I and II. Their structures were assigned by comparison of two dimensional NMR spectra (HMBC, NOESY) with the results obtained from theor. calcns. The structure of one related hydrolysis product was established by x-ray crystallog., further confirming the structure assignment.

IT **252911-44-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(isomeric oxazolopyridopyrimidinones by cyclocondensation of
(phenoxyethyl)oxazolinamine with oxopiperidinecarboxylate)

RN 252911-44-7 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-3-(2-hydroxy-3-phenoxypropyl)-6-(phenylmethyl)- (9CI) (CA INDEX NAME)

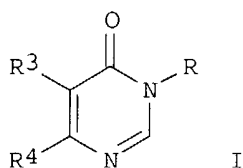


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/634,181

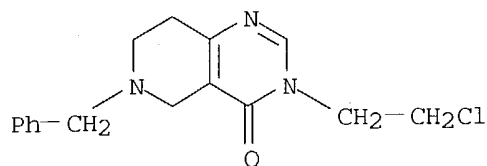
L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:286205 CAPLUS
DOCUMENT NUMBER: 130:311811
TITLE: Preparation of pyridopyrimidinones as serotonin
reuptake inhibitors
INVENTOR(S): Lubisch, Wilfried; Dullweber, Uta; Starck, Dorothea;
Steiner, Gerd; Bach, Alfred; Emling, Franz;
Garcia-Ladona, Francisco Javier; Teschendorf,
Hans-Juergen; Wicke, Karsten
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| DE 19747063 | A1 | 19990429 | DE 1997-19747063 | 19971024 |
| CA 2305258 | AA | 19990506 | CA 1998-2305258 | 19981005 |
| WO 9921857 | A1 | 19990506 | WO 1998-EP6305 | 19981005 |
| W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9897484 | A1 | 19990517 | AU 1998-97484 | 19981005 |
| AU 748666 | B2 | 20020606 | | |
| BR 9812970 | A | 20000808 | BR 1998-12970 | 19981005 |
| EP 1025100 | A1 | 20000809 | EP 1998-951491 | 19981005 |
| EP 1025100 | B1 | 20020123 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO | | | | |
| TR 200001102 | T2 | 20000821 | TR 2000-200001102 | 19981005 |
| NZ 503486 | A | 20010427 | NZ 1998-503486 | 19981005 |
| JP 2001521035 | T2 | 20011106 | JP 2000-517967 | 19981005 |
| AT 212346 | E | 20020215 | AT 1998-951491 | 19981005 |
| PT 1025100 | T | 20020731 | PT 1998-951491 | 19981005 |
| ES 2172222 | T3 | 20020916 | ES 1998-951491 | 19981005 |
| TW 432063 | B | 20010501 | TW 1998-87117332 | 19981020 |
| ZA 9809664 | A | 20000425 | ZA 1998-9664 | 19981023 |
| MX 200002601 | A | 20001109 | MX 2000-2601 | 20000315 |
| BG 104291 | A | 20010531 | BG 2000-104291 | 20000403 |
| US 6414157 | B1 | 20020702 | US 2000-529231 | 20000410 |
| NO 2000001934 | A | 20000413 | NO 2000-1934 | 20000413 |
| PRIORITY APPLN. INFO.: | | | DE 1997-19747063 | A 19971024 |
| | | | WO 1998-EP6305 | W 19981005 |
| OTHER SOURCE(S): | | | MARPAT 130:311811 | |
| GI | | | | |



AB Title compds. [I; R = Z1Z2R5; R3R4 = CH2CH2NR1CH2 or CH2NR1CH2CH2; R1 = H,

10/634,181



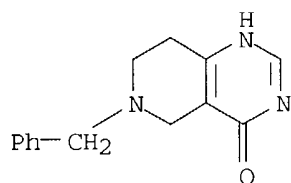
IT 109229-22-3P 223609-09-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridopyrimidinones as serotonin reuptake inhibitors)

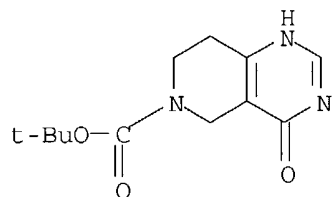
RN 109229-22-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-6-(phenylmethyl)-(9CI) (CA INDEX NAME)



RN 223609-09-4 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:27845 CAPLUS

DOCUMENT NUMBER: 130:95849

TITLE: Dipeptide derivatives as growth hormone secretagogues

INVENTOR(S): Carpino, Philip Albert; Griffith, David Andrew; Lefker, Bruce Allen

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: PCT Int. Appl., 246 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

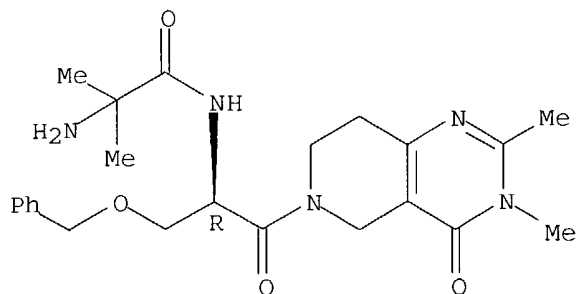
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|---|----------|-----------------|----------|
| WO 9858947 | A1 | 19981230 | WO 1998-IB873 | 19980605 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, | | | |

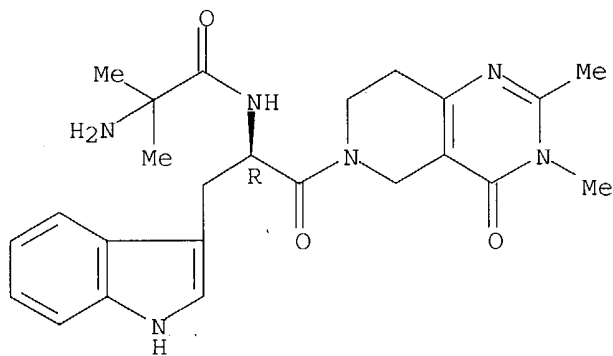
10/634,181



RN 218951-79-2 CAPLUS

CN Propanamide, 2-amino-N-[(1R)-1-(1H-indol-3-ylmethyl)-2-oxo-2-(3,5,7,8-tetrahydro-2,3-dimethyl-4-oxopyrido[4,3-d]pyrimidin-6(4H)-yl)ethyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:792127 CAPLUS

DOCUMENT NUMBER: 130:81147

TITLE: Electron impact mass spectrometric studies of 2-methyl, 2-phenyl, 2-(1-piperidyl), and 2-(2/3/4-pyridyl) piperidino- and pyrido[4,3-d]pyrimidin-4-ones

AUTHOR(S): Oksman, Pentti; Pihlaja, Kalevi; Fulop, Ferenc; Huber, Imre; Bernath, Gabor; Karelson, Mati; Perkson, Antti
CORPORATE SOURCE: Department of Chemistry, University of Turku, Turku, FIN-20014, Finland

SOURCE: Rapid Communications in Mass Spectrometry (1998), 12(23), 1845-1858

CODEN: RCMSEF; ISSN: 0951-4198

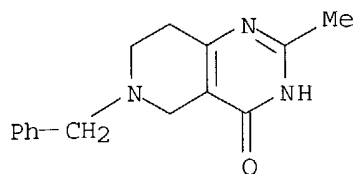
PUBLISHER: John Wiley & Sons Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

10/634,181



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:294880 CAPLUS

DOCUMENT NUMBER: 124:343322

TITLE: Preparation of quinazolinone derivatives as antipsychotics with weak extrapyramidal effects

INVENTOR(S): Fukuda, Yoshimasa; Nakatani, Juko; Hasegawa, Toshibumi; Myashiro, Mio; Yamashita, Noryuki

PATENT ASSIGNEE(S): Meiji Seika Co, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

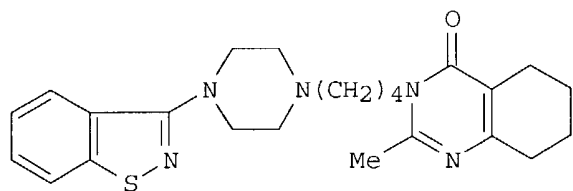
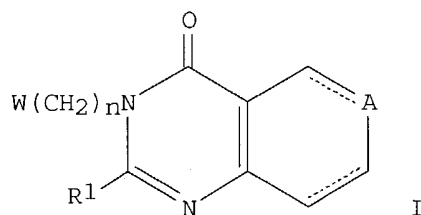
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------------|----------|-----------------|----------|
| JP 08027149 | A2 | 19960130 | JP 1994-157624 | 19940708 |
| PRIORITY APPLN. INFO.: | | | JP 1994-157624 | 19940708 |
| OTHER SOURCE(S): | MARPAT 124:343322 | | | |

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AB The title compds. I [$n = 1 - 5$; $R_1 = H$, methyl; dotted line indicates single or double bond; $A = CH_2$, NR_3 ($R_3 = H$, etc.), CH , N ; $W =$ heterocyclic moiety (structures given)] are prepared In a test for antipsychotic effect using mice, the title compound II (preparation given) showed

ED50 of 0.38 mg/Kg i.p., vs. ED50 of 0.16 mg/Kg i.p for haloperidol, and ED50 of 1.05 mg/Kg i.p for chlorpromazine. In a test for cataleptogenic effects using mice, II showed ED50 of 38.4 mg/Kg i.p., vs. ED50 of 1.3

mg/Kg i.p for haloperidol, and ED50 of 6.2 mg/Kg i.p for chlorpromazine.

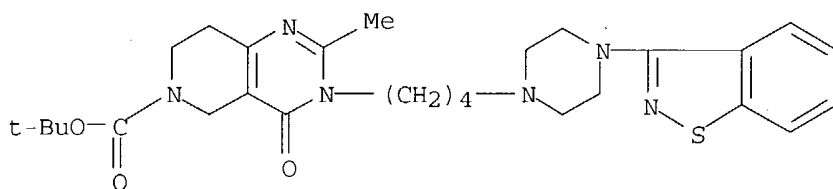
IT **176493-86-0P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolinone derivs. as antipsychotics with weak extrapyramidal effects)

RN 176493-86-0 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 3-[4-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]butyl]-3,5,7,8-tetrahydro-2-methyl-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



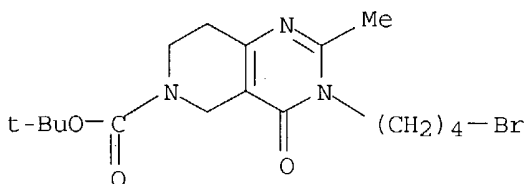
IT **176493-89-3**

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of quinazolinone derivs. as antipsychotics with weak extrapyramidal effects)

RN 176493-89-3 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 3-(4-bromobutyl)-3,5,7,8-tetrahydro-2-methyl-4-oxo-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:300856 CAPLUS

DOCUMENT NUMBER: 122:133110

TITLE: Conversion of 1-benzyl-4-aminotetrahydropyridine-3-carboxylic acid methyl ester to antithrombotic pyrido[4,3-d]pyrimidine-2,4-diones and to (2-oxotetrahydropyrimidin-4-ylidene)acetic acid methyl esters

AUTHOR(S): Furrer, H.; Fehlbaber, H. W.; Wagner, R.

CORPORATE SOURCE: Med. Chem., Hoechst AG Werk Kalle-Albert, Wiesbaden, D-65174, Germany

SOURCE: Journal of Heterocyclic Chemistry (1994), 31(6), 1569-75

CODEN: JHTCAD; ISSN: 0022-152X

PUBLISHER: HeteroCorporation

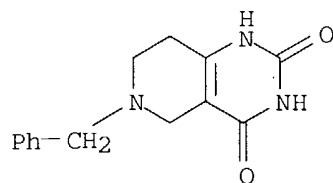
DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 122:133110

GI

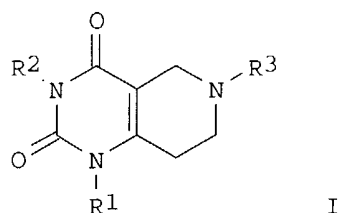
10/634,181



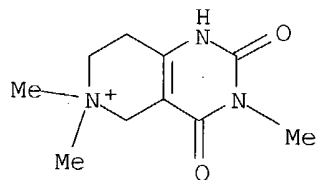
● HCl

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:248596 CAPLUS
DOCUMENT NUMBER: 122:23846
TITLE: Pyridopyrimidinediones, their preparation and use for
treatment of circulatory and neurodegenerative
disorders
INVENTOR(S): Furrer, Harald; Seiffge, Dirk; Okyayuz-Baklouti,
Ismahan; Grome, John Joseph
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------------------|----------|-----------------|------------|
| EP 621037 | A1 | 19941026 | EP 1994-105958 | 19940418 |
| EP 621037 | B1 | 19990707 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| AT 181832 | E | 19990715 | AT 1994-105958 | 19940418 |
| ES 2134284 | T3 | 19991001 | ES 1994-105958 | 19940418 |
| US 5556854 | A | 19960917 | US 1994-230811 | 19940421 |
| JP 06321944 | A2 | 19941122 | JP 1994-106305 | 19940422 |
| JP 3483160 | B2 | 20040106 | | |
| PRIORITY APPLN. INFO.: | | | DE 1993-4313317 | A 19930423 |
| OTHER SOURCE(S): | MARPAT 122:23846 | | | |
| GI | | | | |



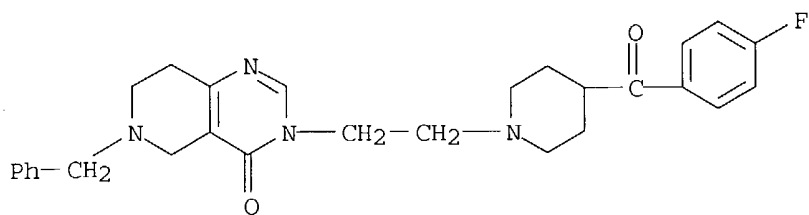
AB Pyridopyrimidinediones [I; R1 = R2, (substituted) alkenyl; R2 = H, alkyl, (substituted) benzyl; R3 = R1, cyclohexylmethyl, heterocyclylmethyl, carboxyalkyl, etc.] are prepared for use in treatment of circulatory and neurodegenerative disorders. Thus, I-HCl (R1 = R3 = H, R2 = Me) showed 33% inhibition of laser-induced thrombosis in rats at 10 mg orally.



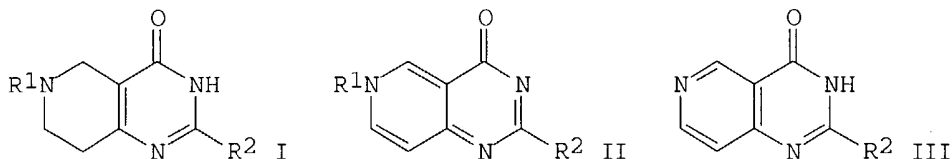
● I⁻

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1992:448598 CAPLUS
 DOCUMENT NUMBER: 117:48598
 TITLE: Preparation of heterocyclic compounds as psychotropic agents
 INVENTOR(S): Imuda, Junichi; Furuya, Yoshiro; Ishitoku, Takeshi; Mizuchi, Akira; Horigome, Kazutoshi; Awaya, Akira
 PATENT ASSIGNEE(S): Mitsui Sekiyu Kagaku Kogyo K. K., Japan; Mitsui Seiyaku Kogyo K. K.
 SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|-----------|-----------------|----------|
| JP 04054181 | A2 | 19920221 | JP 1990-162676 | 19900622 |
| JP 3036789 | B2 | 20000424 | | |
| PRIORITY APPLN. INFO.: | | | JP 1990-162676 | 19900622 |
| OTHER SOURCE(S): | MARPAT | 117:48598 | | |
| GI | | | | |



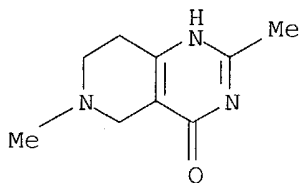
L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1992:151704 CAPLUS
 DOCUMENT NUMBER: 116:151704
 TITLE: Saturated heterocycles. 184. Dehydrogenation of 6-azaquinazoline derivatives. Formation of unexpected quinonediimine intermediates
 AUTHOR(S): Huber, Imre; Fulop, Ferenc; Lazar, Janos; Bernath, Gabor; Toth, Gabor
 CORPORATE SOURCE: Inst. Pharm. Chem., Albert Szent-Gyorgyi Med. Univ., Szeged, H-6701, Hung.
 SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1992), (1), 157-61
 CODEN: JCPRB4; ISSN: 0300-922X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 116:151704
 GI



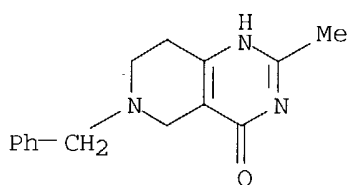
AB 2,6-Disubstituted 5,6,7,8-tetrahydropyrido[4,3-d]pyrimidin-4(3H)-one (6-azaquinazoline) derivs. I (R1 = PhCH2, R2 = Ph, 4-pyridyl, Me; R1 = Me, R2 = Ph, Me) were synthesized from N-substituted 3-(methoxycarbonyl)-4-piperidones and amidines R2C(:NH)NH2. Compds. I and their debenzylated derivs. underwent dehydrogenation in xylene or in PhNO2 in the presence of a Pd-C catalyst, to give products II (R1 = PhCH2, R2 = Ph, 4-pyridyl; R1 = Me, R2 = Ph) and III (R2 = Ph, 4-pyridyl, Me), resp. It was found that the formation of the two types of products, II or III, from the same mols. depends on the substituents at positions 2 and 6, and on the inert or oxidative character of the solvent used. The quinonediimine forms II can be considered to be intermediates of the transformation I to III.

IT **1078-16-6P 1448-40-4P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and dehydrogenation of)

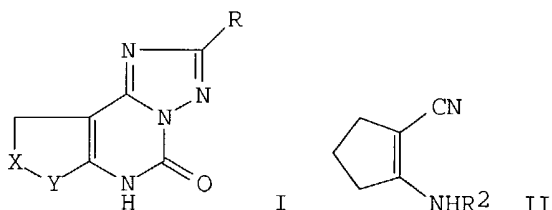
RN 1078-16-6 CAPLUS
 CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2,6-dimethyl- (9CI)
 (CA INDEX NAME)



RN 1448-40-4 CAPLUS
 CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-methyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
 ACCESSION NUMBER: 1991:536038 CAPLUS
 DOCUMENT NUMBER: 115:136038
 TITLE: Anxiolytic properties of certain annelated [1,2,4]triazolo[1,5-c]pyrimidin-5(6H)-ones
 AUTHOR(S): Francis, John E.; Bennett, Debra A.; Hyun, James L.; Rovinski, Stephen L.; Amrick, Caryl L.; Loo, Patricia S.; Murphy, Deborah; Neale, Robert F.; Wilson, Douglas E.
 CORPORATE SOURCE: Pharm. Div., Ciba-Geigy Corp., Summit, NJ, 07901, USA
 SOURCE: Journal of Medicinal Chemistry (1991), 34(9), 2899-906
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

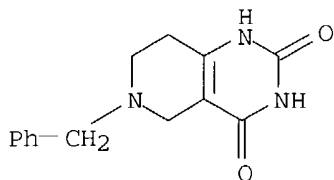


AB Title compds. I [R = Ph, 3-FC6H4, 2-ClC6H4, 4-FC6H4, 4-ClC6H4, 2-pyrrolyl, 2-pyridyl, XY = (CH2)n, n = 2-4; XY = N(CH2Ph)CHMe, NHCH2CH2, NPhCH2, NR1CH2CH2, R1 = 2-pyridylmethyl, 3-pyridylmethyl, COCH2Ph, etc.] were prepared and their anxiolytic properties were examined. Thus, aminocyanocyclopentene II (R2 = H) reacted with (EtO)2CO to give II (R2 = CO2Et) (III). III cyclocondensed with 2-fluorobenzhydrazide to give I (R = 2-FC6H4, XY = CH2CH2). The degree of anxiolytic activity was strongly dependent on the N-substituent in the 9-position.

IT **135481-57-1P**RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 135481-57-1 CAPLUS

CN Pyrido[4,3-d]pyrimidine-2,4(1H,3H)-dione, 5,6,7,8-tetrahydro-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1991:408706 CAPLUS

DOCUMENT NUMBER: 115:8706

TITLE: Saturated heterocycles. Part 172. Synthesis of
2,6-disubstituted 5,6,7,8-tetrahydropyrido[4,3-
d]pyrimidine derivatives

AUTHOR(S): Lazar, Janos; Bernath, Gabor

CORPORATE SOURCE: Inst. Pharm. Chem., Albert Szent-Gyorgyi Med. Univ.,
Szeged, H-6701, Hung.SOURCE: Journal of Heterocyclic Chemistry (1990), 27(7),
1885-92

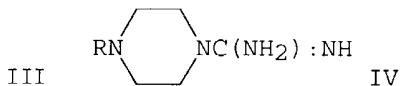
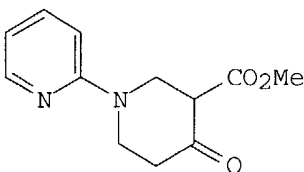
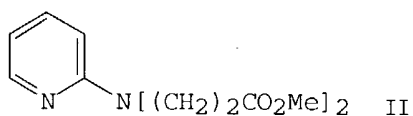
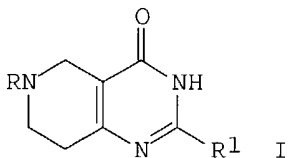
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 115:8706

GI

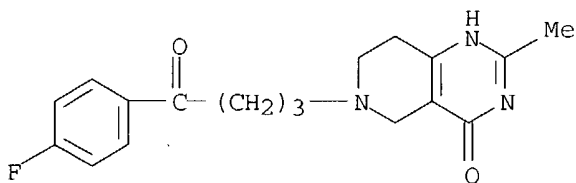


AB The title compds. (I; R = H, alkyl, substituted Ph, aroyl, pyridyl; R1 = Me, Ph, azolyl) were synthesized via the addition of CH₂:CHCO₂Me to PhCH₂NH₂ or to α-aminopyridine, which gave the corresponding diesters, e.g., (II), followed by Dieckmann condensation of the latter to yield the keto esters, e.g., (III), which were condensed with RC(NH₂):NH or guanidines (IV). Subsequent derivatizations gave a number of products with potential biol. action; some of them showed analgesic and antiinflammatory effects (no data).

IT **1448-40-4P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

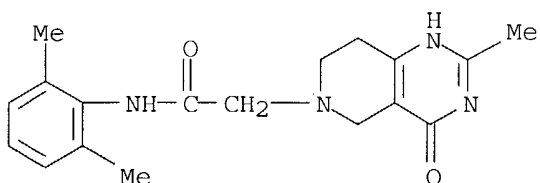
10/634,181



● 2 HCl

RN 134201-07-3 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-acetamide, N-(2,6-dimethylphenyl)-1,5,7,8-tetrahydro-2-methyl-4-oxo-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:212843 CAPLUS

Correction of: 1987:439856

DOCUMENT NUMBER: 110:212843

Correction of: 107:39856

TITLE: Preparation of tetrahydropyrido[4,3-d]pyrimidin-4-ols as central nervous system agents

INVENTOR(S): Kretzschmar, Egon; Meisel, Peter

PATENT ASSIGNEE(S): VEB Arzneimittelwerk, Ger. Dem. Rep.

SOURCE: Ger. (East), 12 pp.

CODEN: GEXXA8

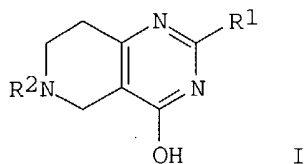
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|----------|------------|-----------------|----------|
| DD 241257 | A1 | 19861203 | DD 1985-281047 | 19850926 |
| PRIORITY APPLN. INFO.: | | | DD 1985-281047 | 19850926 |
| OTHER SOURCE(S): | CASREACT | 110:212843 | | |
| GI | | | | |



AB Title compds I [R1 = C1-5 alkyl, aryl, aralkyl; R2 = 4-FC6H4CO(CH2)3, (4-FC6H4)2CH(CH2)3, PhCH:CHCH2] were prepared in several steps from I (R2 = PhCH2) as anticonvulsants, sedatives, and tranquilizers (no data). I [R1 = Me2CHCH2 (throughout), R2 = PhCH2] was refluxed in PhMe with ClCO2Et to give 34% I.HCl (R2 = CO2Et). This was refluxed in concentrated HCl to give I.2HCl (R2 = H), which was refluxed with (4-FC6H4)2CH(CH2)3Cl in MeCOEt containing Na2CO3 and catalytic NaI to give 46% I [R1 = Me2CHCH2, R2 = (4-FC6H4)2CH(CH2)3].

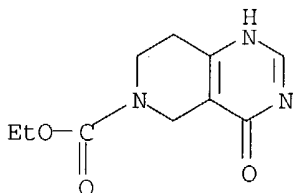
IT **109229-14-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deethoxycarbonylation of)

RN 109229-14-3 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

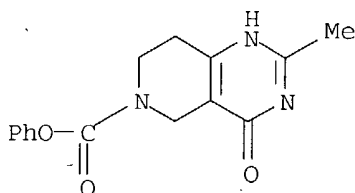
IT **109229-15-4P 109229-16-5P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification-decarboxylation of)

RN 109229-15-4 CAPLUS

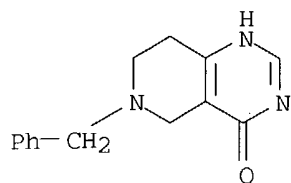
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-methyl-4-oxo-, phenyl ester (9CI) (CA INDEX NAME)



RN 109229-16-5 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-methyl-4-oxo-, 1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

10/634,181

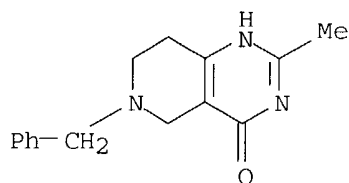


IT 1448-40-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chloroformates)

RN 1448-40-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-methyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:114783 CAPLUS

DOCUMENT NUMBER: 110:114783

TITLE: Synthesis of 2,6-disubstituted 4-hydroxy-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidines

AUTHOR(S): Kretzschmar, E.; Meisel, P.

CORPORATE SOURCE: Direktionsber. Forsch. Entwickl., VEB Pharm. Komb. GERMED, Dresden, Ger. Dem. Rep.

SOURCE: Pharmazie (1988), 43(7), 475-6

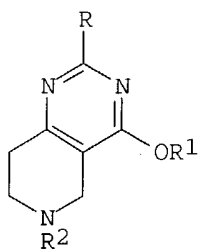
CODEN: PHARAT; ISSN: 0031-7144

DOCUMENT TYPE: Journal

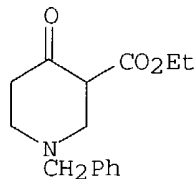
LANGUAGE: German

OTHER SOURCE(S): CASREACT 110:114783

GI



I



II

AB Pyridopyrimidines I [R = cyclohexyl, CH₂CH₂CHMe₂, Me, CH₂Ph, H, Ph, Et; R₁ = H, Bu; R₂ = CH₂Ph, CO₂Et, CO₂CHMe₂, CO₂Ph, H, (CH₂)₃COC₆H₄F-4, (CH₂)₃CH(C₆H₄F-4)₂] were prepared from the piperidinone II and HN:CRNH₂.HCl followed by substitution of I (R₂ = CH₂Ph). I have no pharmacol activity.

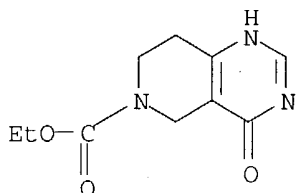
10/634,181

IT 109229-14-3P 109229-15-4P 109229-16-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and decarboxylation of)

RN 109229-14-3 CAPLUS

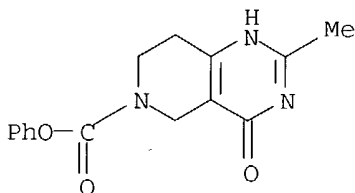
CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-4-oxo-,
ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

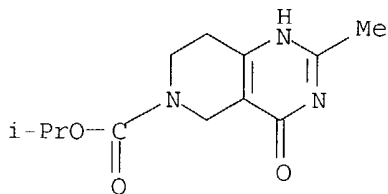
RN 109229-15-4 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-methyl-
4-oxo-, phenyl ester (9CI) (CA INDEX NAME)



RN 109229-16-5 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-methyl-
4-oxo-, 1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 1448-40-4P 109229-22-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation and reaction of, with chloroformate)

RN 1448-40-4 CAPLUS

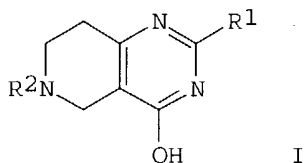
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-methyl-6-
(phenylmethyl)- (9CI) (CA INDEX NAME)

10/634,181

DOCUMENT NUMBER: 107:39856
TITLE: Preparation of tetrahydropyrido[4,3-d]pyrimidin-4-ols
as central nervous system agents
INVENTOR(S): Kretzschmar, Egon; Meisel, Peter
PATENT ASSIGNEE(S): VEB Arzneimittelwerk, Ger. Dem. Rep.
SOURCE: Ger. (East), 12 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--------------|------|----------|-----------------|----------|
| DD 241257 A1 | | 19861203 | DD 1985-281047 | 19850926 |

GI

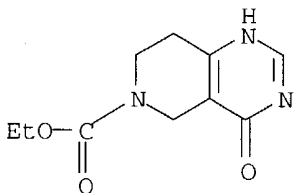


AB The title compds. [I; R1 = C1-5 alkyl, aryl, aralkyl; R2 = 4-FC6H4CO(CH2)3, (4-FC6H4)2CH(CH2)3, PhCH:CHCH2] were prepared in several steps from I (R2 = PhCH2) as anticonvulsants, sedatives, and tranquilizers (no data). I [R1 = Me2CHCH2 (throughout), R2 = PhCH2] was refluxed in PhMe with ClCO2Et to give 34% I.HCl (R2 = CO2Et). This was refluxed in concentrated HCl to give I.2HCl (R2 = H) which was refluxed with (4-FC6H4)2CH(CH2)3Cl in MeCOEt containing Na2CO3 and catalytic KI to give 46% I [R1 = Me2CHCH2, R2 = (4-FC6H4)2CH(CH2)3].

IT **109229-14-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deethoxycarbonylation of)

RN 109229-14-3 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-4-oxo-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

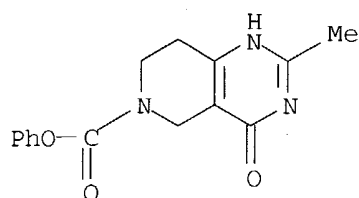
IT **109229-15-4P 109229-16-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and saponification-decarboxylation of)

RN 109229-15-4 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-methyl-

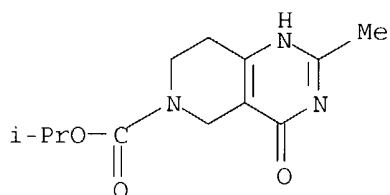
10/634,181

4-oxo-, phenyl ester (9CI) (CA INDEX NAME)



RN 109229-16-5 CAPLUS

CN Pyrido[4,3-d]pyrimidine-6(4H)-carboxylic acid, 1,5,7,8-tetrahydro-2-methyl-4-oxo-, 1-methylethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



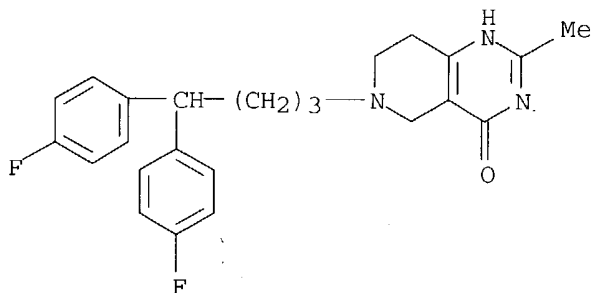
● HCl

IT 109228-99-1P 109229-02-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as central nervous system agent)

RN 109228-99-1 CAPLUS

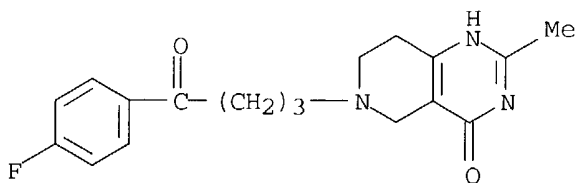
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 6-[4,4-bis(4-fluorophenyl)butyl]-5,6,7,8-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



RN 109229-02-9 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 6-[4-(4-fluorophenyl)-4-oxobutyl]-5,6,7,8-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

10/634,181

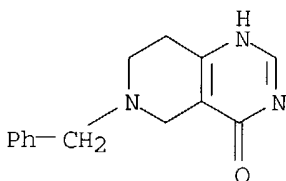


IT 109229-22-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with Et chloroformate)

RN 109229-22-3 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-6-(phenylmethyl)-
(9CI) (CA INDEX NAME)

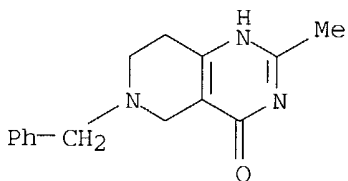


IT 1448-40-4

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chloroformates)

RN 1448-40-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-methyl-6-
(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1967:473618 CAPLUS

DOCUMENT NUMBER: 67:73618

TITLE: 4-Hydroxy-5,6,7,8-tetrahydropyrido[4,3-d]pyrimidine
substitution products

INVENTOR(S): Ohnacker, Gerhard

PATENT ASSIGNEE(S): Boehringer Ingelheim G.m.b.H.

SOURCE: U.S., 14 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

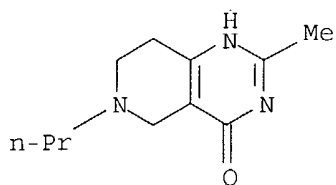
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

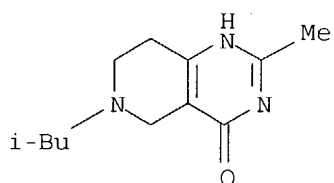
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|------|
| US 3306901 | | 19670228 | | |

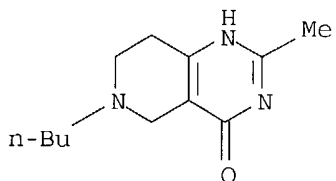
10/634,181



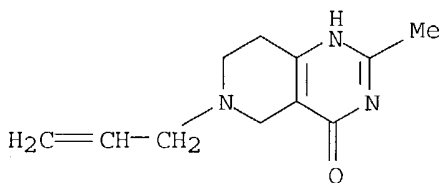
RN 1082-82-2 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-6-isobutyl-2-methyl- (7CI, 8CI) (CA INDEX NAME)



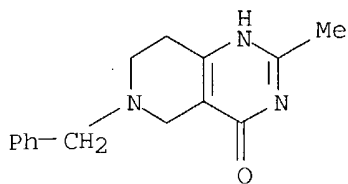
RN 1442-27-9 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4-ol, 6-butyl-5,6,7,8-tetrahydro-2-methyl- (7CI, 8CI) (CA INDEX NAME)



RN 1778-61-6 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4-ol, 6-allyl-5,6,7,8-tetrahydro-2-methyl- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1966:27616 CAPLUS
DOCUMENT NUMBER: 64:27616
ORIGINAL REFERENCE NO.: 64:5111e-h,5112a-d
TITLE: 5,6,7,8 - Tetrahydropyrido[4,3 - d]pyrimidines
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H.
SOURCE: 13 pp.
DOCUMENT TYPE: Patent



L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

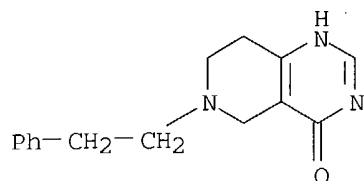
ACCESSION NUMBER: 1965:424196 CAPLUS
 DOCUMENT NUMBER: 63:24196
 ORIGINAL REFERENCE NO.: 63:4312c-h,4313a
 TITLE: 5,6,7,8 - Tetrahydropyrido[4,3 - d]pyrimidines
 INVENTOR(S): Ohnacker, Gerhard
 PATENT ASSIGNEE(S): Boehringer Ingelheim G.m.b.H.
 SOURCE: 14 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 3186991 | | 19650601 | US | |
| PRIORITY APPLN. INFO.: | | | DE | 19620322 |

GI For diagram(s), see printed CA Issue.
 AB The following piperidonecarboxylic acid alkyl esters (I) were prepared by means of the Dieckmann reaction from iminodipropionic acid alkyl esters and NaNH₂ or metallic Na (R and m.p. of hydrochloride given): Ph, 146°; PhCH₂ (Ia), 182°; PhCH₂CH₂, 166°; Me₂NCH₂CH₂, 200°; Me₂N(CH₂)₃, 186°; Et₂NCH₂CH₂, 174°; Et₂N(CH₂)₃, 154°. Also prepared was II.HCl, m. 194°. Tetrahydropyridopyrimidines (III) were prepared as follows. A solution of 29.7 g. Ia, 9.5 g. MeC(NH₂):NH, and 27.6 g. K₂CO₃ in 150 ml. H₂O was stirred at 50° for 5 hrs. and 25° for 15 hrs. to yield 9.6 g. III (R = PhCH₂, R₁ = Me), m. 195-7° (EtOH). The following III were similarly prepared from the appropriate carboxylic acid ethyl ester dihydrochloride and amidine (R, R₁, and m.p. given): Me₂N(CH₂)₃, CH₂Ph, 135°; PhCH₂, Ph, 245°; Me₂NCH₂CH₂, Ph, 172-4°; Et₂N(CH₂)₃, Ph, 117°; PhCH₂, NH₂, 269-70°; PhCH₂, morpholino (Q), 240°; Ph, Q, 260-1°; PhCH₂, SMe, 211-12°; PhCH₂CH₂, SEt, 203-4°; Me₂NCH₂CH₂, SCH₂Ph, 168-9°; PhCH₂, NHPH, 249-51°; Et₂NCH₂CH₂, piperidino (Y), 106-7°; Ph, Ph, 302-4°; MeO(CH₂)₃, Ph, 143°; Ph, Me, 234-5°; cyclohexyl, SMe, 253°; Me₂NCH₂CH₂, SMe, 180°; Me₂N(CH₂)₃, SMe, 139°; Et₂NCH₂CH₂, SMe, 178-9°; Et₂N(CH₂)₃, SMe, 126-7°; Et₂NCH₂CH₂, SCH₂Ph, 135-6°; Me₂N(CH₂)₃, SCH₂Ph, 151°; PhCH₂, CH₂Ph, 227-8°; Ph, Y, 261-2°; Me₂NCH₂CH₂, Me, 107-8°; Me₂NCH₂CH₂, PhCH₂, 171-2°; Me₂N(CH₂)₃, Ph, - (dioxalate m. 223-5°); Et₂NCH₂CH₂, Ph, 141-2°; Et₂NCH₂CH₂, CH₂Ph, 136-8°; Et₂N(CH₂)₂, CH₂Ph, 106-8°; Ph, Q, 260-1°; PhCH₂, NH(CH₂)₃OMe, 162-3°; PhCH₂, NBu₂, 104°; PhCH₂CH₂, Bu, 161-2°; PhCH₂, pyrrolidino(Z), 233-5°; PhCH₂, Y, 220-2°; PhCH₂, cyclohexylamino, 95-6°; PhCH₂, NMeCH₂Ph, 181-2°; PhCH₂CH₂, Q, 226°; PhCH₂CH₂, 4-methylpiperazino (X), 177-8°; cyclohexyl, Q, 231-3°; cyclohexyl, X, 213-15°; Me₂N(CH₂)₃, Z, 143°; Me₂N(CH₂)₃, Y, 142°; Et₂N(CH₂)₂, Z, 134-5°;

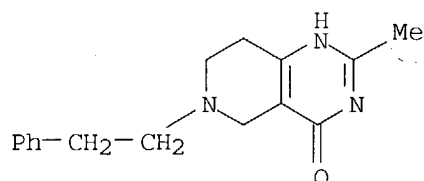
10/634,181

CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-6-phenethyl- (7CI, 8CI)
(CA INDEX NAME)



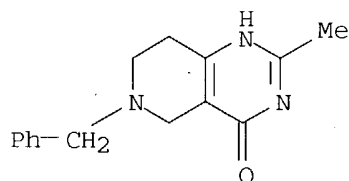
RN 1033-38-1 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-2-methyl-6-phenethyl- (7CI, 8CI) (CA INDEX NAME)



RN 1448-40-4 CAPLUS

CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-methyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1965:51722 CAPLUS

DOCUMENT NUMBER: 62:51722

ORIGINAL REFERENCE NO.: 62:9150b-h

TITLE: 5,6,7,8 - Tetrahydropyrido[4,3 -d]pyrimidines

PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H.

SOURCE: 16 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

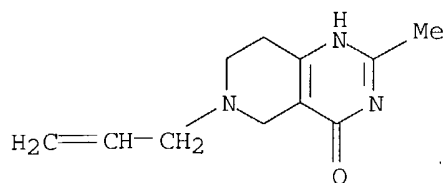
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| FR M2928 | | 19641214 | FR | |
| GB 1033383 | | | GB | |
| PRIORITY APPLN. INFO.: | | | DE | 19620322 |

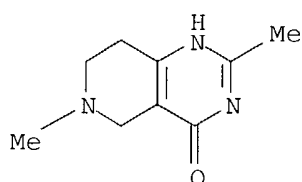
GI For diagram(s), see printed CA Issue.

AB Alkyl 4-piperidone-3-carboxylates are treated with an amidine of the general formula RC(:NH)NH₂, where R is an alkyl, alkylthio, or amino

10/634,181



RN 96654-04-5 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-2,6,9-trimethyl- (7CI)
(CA INDEX NAME)



D1-Me

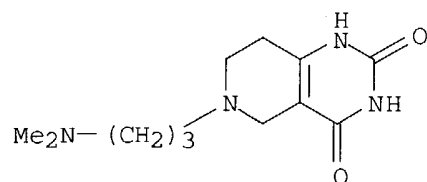
L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1965:36868 CAPLUS
DOCUMENT NUMBER: 62:36868
ORIGINAL REFERENCE NO.: 62:6493b-g
TITLE: 5,6,7,8-Tetrahydropyrido[4,3-d]pyrimidines
PATENT ASSIGNEE(S): Dr. Karl Thomae G.m.b.H.
SOURCE: 18 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| FR M2798 | | 19641019 | FR | |
| BE 642910 | | | BE | |
| GB 1028405 | | | GB | |
| PRIORITY APPLN. INFO.: | | | DE | 19620322 |

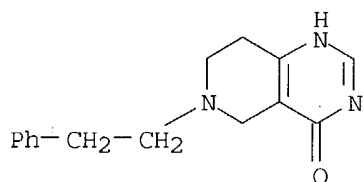
AB The title compds. (I) were prepared by alkaline condensation of an amidine with a substituted 3-carbethoxy-4-piperidone. Thus, a solution of 29.7 g. Et N-benzyl-4-piperidone-3-carboxylate-HCl, 9.5 g. acetamidine-HCl, and 27.6 g. K_2CO_3 in 50 ml. H_2O was stirred 5 hrs. at 50° and 15 hrs. at 25° to give 9.6 g. I ($\text{R} = \text{H}$, $\text{R}_1 = \text{PhCH}_2$, $\text{R}_2 = \text{Me}$) (Ia), m. $195-7^\circ$ (EtOH). The tabulated I were prepared in a similar manner. Similarly were prepared the 4-Me analogs of Ia, m. $177-8^\circ$, of II, m. $194-5^\circ$, and of III, m. $128-9^\circ$. I had antiinflammatory, antipyretic, diuretic, bacteriostatic, sedative, and coronary dilatory activity. R, R_1 , R_2 , m.p., R, R_1 , R_2 , m.p.; H, $\text{Me}_2\text{N}(\text{CH}_2)_3$, PhCH_2 , 135° , H, PhCH_2 , Ph (II), 245° ; H, $\text{Me}_2\text{N}(\text{CH}_2)_2$, Ph, $172-4^\circ$, H, $\text{Et}_2\text{N}(\text{CH}_2)_3$, Ph, 117° ; H, PhCH_2 , NH_2 , $269-70^\circ$, H, PhCH_2 , Q, 240° ; H, Ph, Q, $260-1^\circ$, H, PhCH_2 , MeS, $211-12^\circ$; 8-Me, PhCH_2 , EtS, $156-7^\circ$, H, $\text{Ph}(\text{CH}_2)_2$, EtS, $203-4^\circ$; H, $\text{Me}_2\text{N}(\text{CH}_2)_2$, PhCH_2S , $168-9^\circ$, H, PhCH_2 , PhNH , $249-51^\circ$; H, $\text{Et}_2\text{N}(\text{CH}_2)_2$, Z, $106-7^\circ$, H, Ph, Ph,

10/634,181

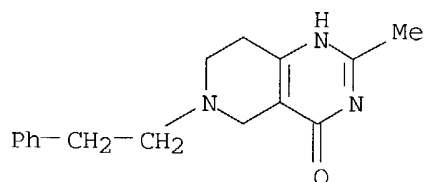
RN 1026-37-5 CAPLUS
CN Pyrido[4,3-d]pyrimidine-2,4-diol, 6-[3-(dimethylamino)propyl]-5,6,7,8-tetrahydro- (8CI) (CA INDEX NAME)



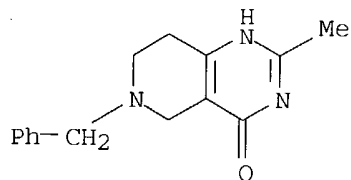
RN 1029-53-4 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-6-phenethyl- (7CI, 8CI) (CA INDEX NAME)



RN 1033-38-1 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4-ol, 5,6,7,8-tetrahydro-2-methyl-6-phenethyl- (7CI, 8CI) (CA INDEX NAME)



RN 1448-40-4 CAPLUS
CN Pyrido[4,3-d]pyrimidin-4(1H)-one, 5,6,7,8-tetrahydro-2-methyl-6-(phenylmethyl)- (9CI) (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 15:17:08 ON 22 NOV 2004)

10/634,181

FILE 'REGISTRY' ENTERED AT 15:17:25 ON 22 NOV 2004

L1 STRUCTURE UPLOADED

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L3 170 S L1 FULL

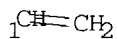
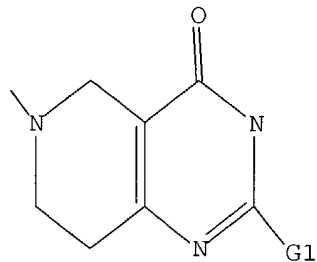
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L4 24 S L3

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L1 HAS NO ANSWERS

L1 STR



G1 H,X,Me,CF₃,OH,MeO,CN,[@1]

Structure attributes must be viewed using STN Express query preparation.

=>

Day : Monday
Date: 11/22/2004
Time: 15:27:03

PALM INTRANET

Inventor Name Search Result

Your Search was:

Last Name = LI

First Name = JIE

| Application# | Patent# | Status | Date Filed | Title | Inventor Name 51 |
|-----------------|------------|--------|------------|---|------------------|
| <u>60526007</u> | Not Issued | 020 | 12/02/2003 | BULK SORTING OF DESICCATION-TOLERANT CONIFER SOMATIC EMBRYOS | LIU, JIE |
| <u>60515256</u> | Not Issued | 159 | 10/29/2003 | DELIVERY OF IMMUNE RESPONSE MODIFIER COMPOUNDS USING METAL-CONTAINING PARTICULATE SUPPORT MATERIALS | LIU, JIE J. |
| <u>60507913</u> | Not Issued | 159 | 09/30/2003 | STRUCTURE OF THE HIV TRIMERIZATION DOMAIN AND ITS USE FOR DEVELOPING INHIBITORS OF HIV INFECTION | LIU, JIE |
| <u>60480502</u> | Not Issued | 159 | 06/20/2003 | OPTICAL DEVICE | LIU, JIE |
| <u>60364324</u> | Not Issued | 159 | 03/14/2002 | METHODS FOR MAC LEVEL REED-SOLOMON IMPLEMENTATIONS FOR IEEE 802.11E SYSTEMS | LIANG, JIE |
| <u>60322862</u> | Not Issued | 159 | 09/17/2001 | DIELECTRIC FILM MATERIALS | LI, JIE |
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